(19) Japanese Patent Office (JP)

(12) **Publication of Unexamined Patent Application** (A)

(11) Unexamined Patent Appl. No.

H10-120542

(43) Publication Date: May 12, 1998

(51) Int. Cl.[6]	Identification Symbol	F1
A61K 7/42		A61K 7/42

Examination Request: Not yet made/ Number of Claims: 1 FD (Total of 11 pages)

(21) Application No: **H09-271934**

(22) Application Date: September 19, 1997

(31) Priority Application Number 19638534.2
(32) Priority Date September 20, 1996
(33) Priority Country Germany (DE)

(71) Applicant **591010376**
Beiersdorf Aktien Gesellschaft
Unnastrasse 48
D-20245 Hamburg
Germany

(71) Applicant **000119472**
Ichimaru Pharcos Co., Ltd.
318-1 Asagi, Shinseicho
Motosu-gun, Gifu-ken

(74) Agent **Heikichi Odajima, Patent Attorney**

Continued on the last page

(54) [Title of the Invention] USE OF TOCOPHERYL FERULATE FOR MAKING SKIN LESS BROWN AND PREVENTING SUNBURN, IN PARTICULAR THAT CAUSED BY ULTRAVIOLET RAYS

(57) [Abstract]

[Problem] To provide a method for producing a cosmetic or dermatological product for preventing pigmentation or sunburn of the skin.

[Means for Solving the Problem] A preparation that contains tocopheryl ferulate as the active ingredient for preventing undesirable pigmentation of the skin.

[Claims]
[Claim 1] The use of tocopheryl ferulate for the treatment of undesirable skin pigmentation.

[Detailed Description of the Invention]

[0001]
[Technical Field] The present invention pertains to the use of active ingredients that are known for treating localized dermatological tanning of the skin caused by cosmetics and for preventing sunburn of the skin, particularly sunburn caused by UV rays.

[0002] A preferred embodiment of the present invention pertains to a cosmetic or a dermatological preparation to be used on skin with undesirable pigmentation, such as exasperated local pigmentation or abnormal pigmentation, such as moles and freckles, for the purpose of treating and preventing esthetic and dermatological changes in the skin or for use as a pure cosmetic whitener to be applied to larger areas of pigmented skin and that can be adapted for all different skin types.

[0003]
[Prior Art] Melanin cells are responsible for pigmentation of the skin, and depending upon the skin type, can be found in the bottom layer of the epidermis, or the stratum basale, along the basal cells in the form of pigmentation cells that are present as either individual cells or as a group of cells with varying sizes. Melanin cells contain melanosomes, which act as unique cell organelles to produce large amounts of melanin when exposed to UV rays. These melanosomes are transported to the keratinocytes in varying densities and their brownish color turns the skin brown.

[0004] Melanin is formed as the final phase of the oxidation process, at which point tyrosine is ultimately converted to melanin due to the action of the tyrosine decomposition enzyme via 3,4 dihydroxy-phenylalanine (dopa), dopaquinone, leukodopachrome, dopachrome, 5,6 dihydroxyindole and indole-5,6-quinone.

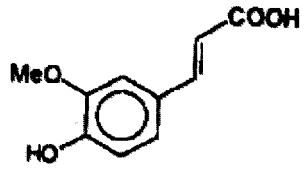
[0005] Problems associated with hyperpigmentation of the skin are caused by a number of varying factors that result in abnormal pigmentation of the skin via incidental phenomena involving a multitude of biological processes, such as exposure to UV rays (freckles, or ephelides, for example), genetic tendencies and the period in which the skin is healing, scarring or aging (senile moles, or lentigines senile, for example).

[0006] There are some known active ingredients and preparations that counteract pigmentation of the skin. However, one aspect of such ingredients or preparations is that they have to be used for several weeks before the benefits are realized, and another aspect is that excessive use of such ingredients over a prolonged period of time is hazardous from a toxicological standpoint. However, the actual products that are essentially used are preparations containing a hydroquinone base. While these preparations do have some disadvantages as cosmetic products or preparations, they are effective primarily due to inhibition of the tyrosine decomposition enzyme by kojic acid, ascorbic acid, or azelaic acid, or their derivatives.

[0007]
[Problems to be solved by the Invention] It is an object of the present invention is to resolve the aforementioned problems.

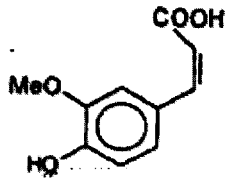
[0008]
[The Constitution of the Invention] Ferulic acid (4-hydroxy-3-methoxycinnamic acid, caffeine acid 3-methyl ether) is known for its antioxidative properties. It has unique

[0009]
[Formula 1]



(E-type)

or,

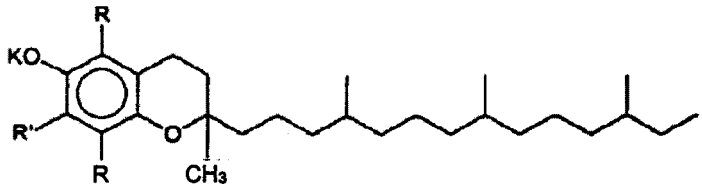


(Z-type)

[0010] structural characteristics. These enzymes are commonly found in plants, and are also found in a variety of substances that were named after the enzyme that they contain, such as the plant, Ferula asafetida, of the Apiaceae family, the stem tuber of the ferula narthex and in grains and rubber resin. Under normal conditions, the E-type is a colorless crystalline solid and the Z-type is a pale yellow oil.

[0011] Tocopherol, which is active vitamin E, and its esters are derived from base substances consisting of tocol [2-methyl-2-(4,8,12-trimethyl-tridecyl) chromane-6-ol],

[0012]
[Formula 2]



[0013] and have the unique chemical structure shown above.

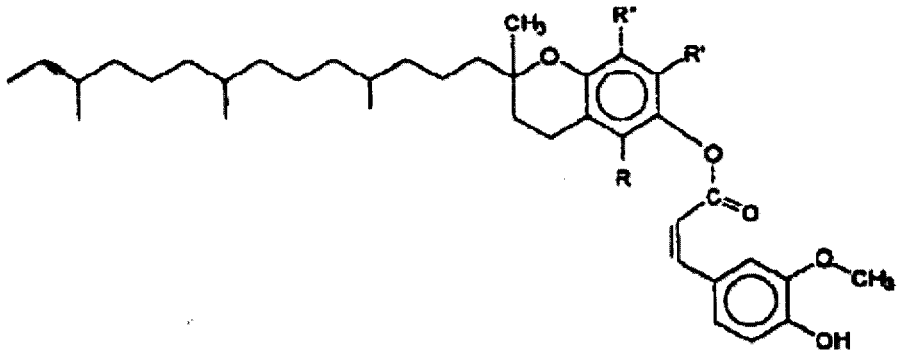
[0014] K is either H or an acyl radical, and R, R' and R" are independent as either H or Methyl radicals, and can be expressed as the following:

R=R′ = R″ =K=H	:	Tocol
R=R′ =R″ = methyl, K=H	:	α-tocopherol
R=R″ = methyl, R′=K=H	:	β-tocopherol
R′ =R″ = methyl, R=K=H	:	γ-tocopherol
R″ = methyl, R=R′=K=H	:	δ-tocopherol
R= methyl, R′=R″=K=H	:	ε-tocopherol
R=R′ =methyl, R″=K=H	:	ζ-tocopherol
R″ = methyl, R=R″=K=H	:	η-tocopherol

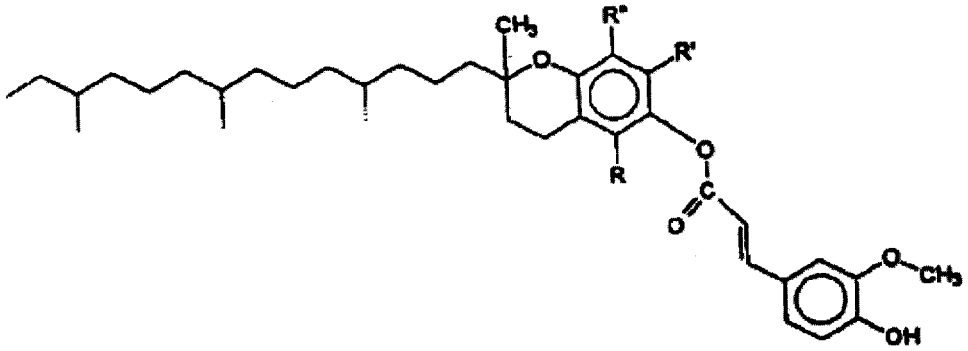
[0015] Alpha-tocopherol, which is the most important and most commonly found tocopherol in nature, is made up of an arrangement consisting of 2R, 4′ R, 8′ R. It is also sometimes referred to as RRR-α-tocopherol.

[0016] Tocopheryl ferulate is specified as either one of the following two structures according to the isomeric form or the various tocopherol base substances that it contains.

[0017]
[Formula 3]



or,



[0018] (R, R′ and R″ in the chemical formulas have the same meanings as defined above.)

[0019] The method used to manufacture tocopheryl ferulate and its properties are described in Unexamined Patent Application No. S60-222476 and in relevant Unexamined Patent Application No. 1986-052151, both owned by Ichimaru Pharcos KK of Japan. The cited patents refer to details regarding the use of tocopheryl ferulate as a cosmetic and its properties when used as an antioxidant.

[0020] The degree to which the use of tocopheryl ferulate for the treatment of undesirable pigmentation of the skin or the use of cosmetics or dermatological preparations containing effective amounts of tocopheryl ferulate for the treatment of undesirable skin pigmentation served to remedy the disadvantages of the prior art was surprising and was not anticipated by those skilled in the art.

[0021] As a result, regardless of its form, whether it be a simple substance, a composite of isomers or a mixture of different formulas of tocopheryl ferulate, collectively speaking, tocopheryl ferulate is referred to as the "active ingredient used in the present invention," and was discovered to be a superior active ingredient in terms of both the treatment and prevention of undesirable pigmentation, particularly localize hyperpigmentation, and sunburn caused by UV rays.

[0022] For the purpose of the present invention, the most desirable alpha-tocopheryl ferulate [synonymous for Vitamin E

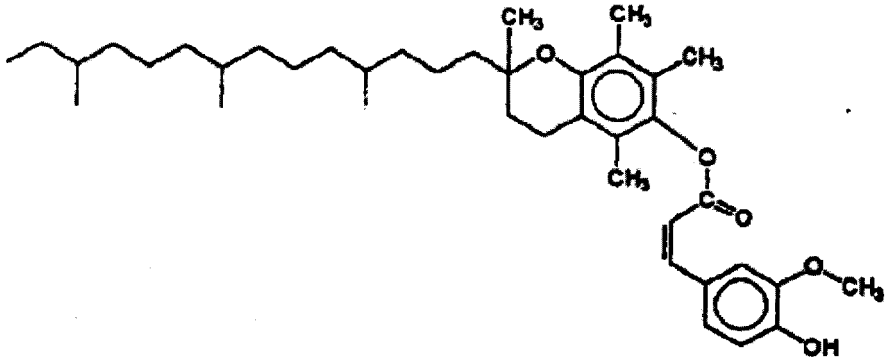
ferulate, scientific name (4"-hydroxy-3"-methoxycinnamic acid) 2, 5, 7, 8-tetramethyl-2- (4', 8', 12'-trimethyl-tridecyl) -6* - chromanil] is registered in Chemical Abstracts as No. 21290-29-9, and was formerly registered as No. 17175-56-3.

[0023] DL-α-tocopheryl ferulate is particularly desirable. Both the E and Z arrangements of the isomers for the ferulate radicals are equally effective.

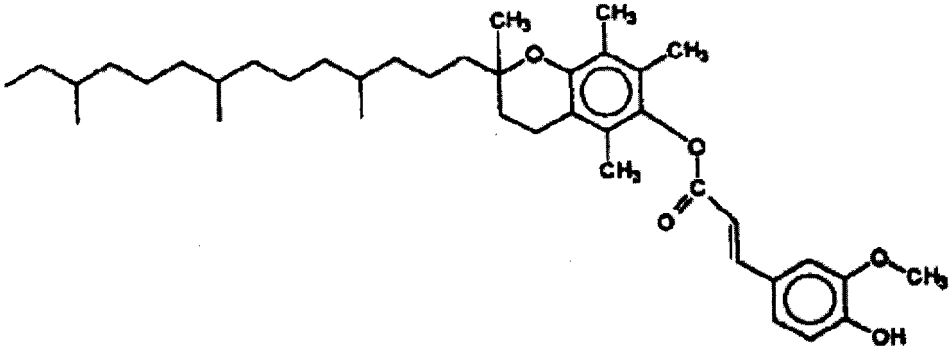
[0024] Unexamined Patent Application No. S60-222476 and related Unexamined Patent Application No. 1986-052151 [sic] can both be used advantageously for the purpose of the present invention, and although specific methods for synthesizing all of the possible formulas of tocopheryl ferulate are not described, a person skilled in the art would have the knowledge to obtain the desired products by utilizing the information provided in the Specification portions of the cited patents, or by simply utilizing the knowledge of a typical expert in the field, and substitute the desired substances based on the starting tocopherol disclosed in the Specification or the presumed starting tocopherol that is not disclosed in the Specification, or by employing some other similar method.

[0025] Based on the arrangement of the ferulate radicals, the substance that is most desirable for the present invention will have one of the following chemical structures:

[0026]
[Formula 4]



or,



[0027] if the arrangement at the asymmetric center on top of the tocopheryl radical is not taken into account.

[0028] According to the present invention, the content of the active ingredient used in the cosmetic or the local dermatological preparation for the present invention can be 0.01 – 10% by weight of the total weight of the preparation, and preferably should be from 0.1 – 5% by weight, with the most preferable content being 0.2 – 2.0% by weight.

[0029] Surprisingly, the active ingredient used in relation to the present invention was discovered to be the ingredient that achieved the main goal of this invention. Use of the active ingredient used in accordance with the present invention, or the cosmetic or local dermatological preparation containing the active amount of the active ingredient used in accordance with the present invention can result in the effective prevention of undesirable pigmentation, such as the prevention of undesirable pigmentation caused by exposure to UV rays. In addition, the use of the active ingredient used in accordance with the present invention, or the cosmetic or local dermatological preparation containing the active amount of the active ingredient used in accordance with the present invention is also very suitable for use in accordance with the present invention as a cosmetic or dermatological treatment for undesirable skin pigmentation, such as senile moles.

[0030] The prevention [effect] using the active ingredient used in accordance with the present invention or the cosmetic or local dermatological preparation containing the active amount of the active ingredient used in accordance with the present invention, or the application of the cosmetic or

dermatological treatment is administered according to an ordinary method by applying the active ingredient used in accordance with the present invention, or the cosmetic or local dermatological preparation containing the active amount of the active ingredient used in accordance with the present invention, to the affected portion of the skin.

[0031] The active ingredient used according to the present invention can conveniently be incorporated into ordinary cosmetic products or dermatological preparations that can be prepared in a wide variety of forms. Some examples of these are liquid solutions, water in oil (W/O) or oil in water (O/W) emulsions, or multiple emulsion compositions such as water-in-oil-in-water (W/O/W) emulsions or oil-in-water-in-oil (O/W/O) emulsions, hydro dispersing agents or lipid dispersing agents, gels, solid sticks or aerosols.

[0032] Emulsions in the form of a cream, lotion or cosmetic skin milk, for example, that are included in the category of emulsions for the present invention are a convenient application, and this also includes multiple emulsion compositions, such as fat, oil, wax and/or other fat substances and water that have traditionally been used for this type of preparation.

[0033] It is also possible and convenient to add the active ingredient used in accordance with the present invention to the aqueous formulation or the surface-activating preparation for cleansing the skin or hair in order to achieve the purpose of the present invention.

[0034] Persons skilled in the art are aware of the fact that the majority of cosmetic compositions that have to meet stringent criteria cannot be formulated without using ordinary supplements or additives. Such supplements or additives include, consistency-regulating agents, fillers, fragrance, dyes, emulsifiers, additional active ingredients such as vitamins or protein, light rays or other stabilizers, insect repellent, alcohol, water, salt, antibacterial agents and protein or keratolytic decomposing material.

[0035] Prerequisites that apply to the preparation of pharmaceutical preparations also require that the necessary changes be made.

[0036] The local composition for pharmaceutical application that pertains to the scope of the present invention generally includes one or more types of pharmaceuticals for the effective concentration. In order to simplify the requirements, the laws of the Federal Republic of Germany (for example, cosmetic product regulations and food and drug ordinances) are used as a reference in order to make a clear distinction between cosmetic products, pharmaceutical applications and corresponding products.

[0037] It is also appropriate to add the active ingredient used in accordance with the present invention as an additive to a preparation containing a different active ingredient in order to achieve a different purpose.

[0038] Therefore, depending upon the composition, the cosmetic or local composition for pharmaceutical application pertaining to the scope of the present invention can be a skin-protecting cream, a cleansing cream, a sunscreen lotion, a nourishing cream or a night or day cream. If desired, the composition pertaining to the present invention can also be used for and is suitable for use as a base for a pharmaceutical preparation.

[0039] When appropriate, a cosmetic or dermatological preparation in the form of a sunscreen agent is also acceptable. In addition to the active ingredient used in the present invention, if desired, at least one type of UVA filter substance and/or at least one type of UVB filter substance and/or at least one type of inorganic pigment may also be added.

[0040] Furthermore, another suitable purpose of the present invention is to provide a cosmetic or dermatological preparation that contains an anti-UV substance that is not necessarily primarily for protection against the sun's rays, but nonetheless provides UV protection. A common example of such an application would be to incorporate a UV-A or UV-B filter substance into a day cream.

[0041] An appropriate preparation for the present invention could be one that contained a substance for absorbing UVB UV rays, and the total volume of this filter substance can be 0.1 – 30% by weight of the total weight of the preparation, and preferably should be from 0.5 –10% by weight, with the most preferable content being 1 – 6% by weight.

[0042] The UVB filter can be either oil soluble or water soluble. Some examples of oil soluble substances are:

– 3-benzylidene camphor and its derivative, for example, 3-(4-methyl benzylidene) camphor;

– 4-aminobenzoic acid derivative, preferably 4-(dimethylamino) benzoic acid 2-ethylhexyl, 4- (dimethylamino) amyl benzoate;

– Cinnamate ester, preferably, 4- methoxycinnamic acid 2-ethylhexyl, 4- methoxycinnamic acid isopentyl;

– Salicylic ester, preferably, salicylic 2-ethylhexyl, salicylic 4-isopropyl benzyl, homomenthyl salicylate;

– Benzophenone derivative, preferably, 2-hydroxy-4-methoxybenzophenone, 2-hydroxy-4-methoxy-4'-methylbenzophenone, 2, 2'-dihydroxy-4-methoxybenzophenone

– Benzal malonic ester, preferably, 4-methoxybenzal malonic acid di(2-ethylhexyl);

– 2,4,6-trianilino (p-carbo-2'-ethyl-1'-hexyloxy) -1,3,5-triazine.

[0043] Some suitable examples of water soluble substances are:

– 2-Phenylbenzimidazole-5-sulfonic acid and its salts, for example, sodium, potassium and triethanol ammonium salt,

– Benzophenone sulfonic acid derivative, preferably, 2-hydroxy-4-methoxybenzophenone-5-sulfonic acid and its salts;

– 3-benzylidene camphor sulfonic acid derivative, for example, 4-(2-oxy-3-vinylidene methyl) benzene sulfonic acid, 2-methyl-5- (2-oxo-3-bornylidenemethyl) sulfonic acid and its salts.

[0044] Needless to say, the UVB filters that can be used in accordance with the present invention are not limited to the substances listed in the aforementioned charts.

[0045] The present invention also pertains to a substance consisting of a combination of a UVA filter and a UVB filter, or a UVA filter and a cosmetic or dermatological preparation that pertains to the present invention that contains a UVB filter.

[0046] Another suitable filter for the preparation pertaining to the present invention is to use a UVA filter that is commonly found in cosmetics and/or dermatological preparations. This type of filter substance should preferably be a dibenzoyl methane derivative, specifically, 1- (4'-tert-butylphenyl) - 3- (4'-methoxyphenyl) propane-1, 3-dione or 1-phenyl-3- (4'-isopropyl phenyl) propane-1, 3-dione. The preparation containing these combinations of substances is the main focus of the present invention. The same amount of UVA filter substance can be used that was used for the UVB filter substance.

[0047] In addition, the cosmetic and/or dermatological preparation pertaining to the scope of present invention can also contain an inorganic pigment commonly used in the cosmetic industry for protecting skin against UV rays. These pigments are titanium, zinc, iron, zirconium, silicon, manganese, aluminum, cerium oxide, as well as a combination of such pigments and their derivatives that contain the oxide of any of these minerals as the active ingredient. Pigments containing a base of titanium dioxide are particularly desirable. The amounts of these combination pigments that can be used are the amounts that are listed [under paragraph 68]. The cosmetic or dermatological preparation pertaining to the present invention can include active cosmetic ingredients and supplements and/or additives ordinarily used in this type of preparation, such as antioxidant agents, preservatives, antibacterial agents, fragrance, foam inhibitors, dyes, pigments used for coloring, thickening agents, surfactants, emulsifying agents, plasticizing agents, humidifying agents and/or humectants, fat, oil, wax or alcohol, polyols or polymers, foam stabilizers, electrolytes, and other common ingredients found in cosmetics and dermatological preparations, such as organic solvents or silicone derivatives.

[0048] The same favorable effects can be achieved by adding an ordinary antioxidant agent to the preparation pertaining to the scope of the present invention. According to the present invention, the aforementioned ordinary antioxidant refers to all antioxidants used in suitable and or traditional cosmetic and/or dermatological applications.

[0049] Antioxidants are used in extremely small acceptable doses (for example, pmol – μmol/kg) and comprise the following agents: amino acids (for example, glycerin, histidine, tyrosine, tryptophane) and their derivatives, imidazoles (urocanic acid, for example) and their derivatives, peptides such as D, L-Carnosine, D-Carnosine, L-Carnosine and their derivatives (anserine, for example), carotenoid, carotene (for example, α-carotene, β-carotene or lycopene) and their derivatives, lipoic acid and its derivative (dihydrolipoic acid, for example), aurothioglucose, propylthiouracil and other thiols (for example, thioredoxin, glutathione, cysteine, cystine, cystamine and their glycosyl, N-acetyl, methyl, ethyl, propyl, amyl, butyl and lauryl, palmitoyl, oleyl, γ-linoleyl, cholesteryl and glyceryl ester) and their salts, dilauryl thiodipropionate, distearyl thiodipropionate, thiodipropionic acid and its derivative (esters, ethers, peptides, lipids, nucleotides and nucleotides and their salts) and sulfoximine compounds (for example, buthionine sulfoximine, homocysteine sulfoximine, buthionine sulfone, penta, hexa, peptathionine-sulfoximine), and additionally, (metals) chelating agents (for example, α-hydroxyfatty acid, palmitic acid, phytic acid, and lactoferrin), α-hydroxy acid (for example, citric acid, lactic acid, malic acid), humic acid, bile acid, bile extract, bilirubin, biliverdin, EDTA, EGTA and their derivatives, unsaturated fatty acids and their derivatives (for example, γ-linolenic acid, linoleic acid and oleic acid), folic acid and its derivative, alanine diacetic acid, flavonoid, polyphenol, catechol,

ubiquinone and ubiquinol and their derivatives, vitamin C and its derivative)for example, ascorbyl palmitate, ascorbyl phosphate Mg and ascorbyl acetate), tocopherol and its derivative (for example, Vitamin E acetate), and conipheryl benzoate, rutinic acid and its derivative, ferulic acid and its derivative, butylated hydroxytoluene, butylated hydroxyanisole, nordihydroguaiuretic acid, nordihydroguaiaretic acid, trihydrobutyrophenone, uric acid and its derivative, mannose and its derivative, zinc and its derivative (for example, ZnO and $ZnSO_4$), selenium and its derivative (for example, selenium-methionine), stilbenes and their derivatives (for example, stilbene oxide and trans stilbene oxide) and derivatives of the suitable active ingredients pertaining to the present invention (salts, esters, ethers, sugars, nucleotides, nucleosides, peptides and lipids). The antioxidant (a compound consisting of one or more type of antioxidant agent) contained in the preparation can be 0.001 – 30% by weight of the total weight of the preparation, and preferably should be from 0.05 – 20% by weight, with the most preferable content being 1 – 10% by weight.

[0050] When Vitamin E and/or its derivatives are used as the antioxidant (type of antioxidant), it is most desirable to use a concentration for each agent within a range of 0.001 –10% by weight of the total weight of the preparation.

[0051] When the cosmetic or dermatological preparation pertaining to the scope of the present invention is a liquid solution, emulsion or dispersing agent, the solvent to be used should be:

– Water or a water solution

– An oil such as capric acid or caprylic acid triglyceride, but preferably should be castor oil

– Fat, wax or other natural or synthetic fatty substance, but preferably should be alcohol with a low carbon number and isopropanol, propylene glycol or glycerol and fatty acid ester or alkane acid with a low carbon number and/or fatty acid and fatty alcohol ester

– Alcohol with a low carbon number, diol or polyol and their ethers, but preferably should be ethanol, isopropanol, propylene glycol, glycerol, ethylene glycol, ethylene glycol-monoethyl, or monobutyl-ether, propylene glycol-monomethyl,

monoethyl, or monobutyl-ether, diethylene glycol-monomethyl, or monoethyl-ether and similar substances.

[0052] There are some cases in which a combination of the aforementioned solvents is used. When an alcohol solvent is used, water can be added as an additional ingredient.

[0053] The oil phase of the emulsion, oleo gel, hydro dispersing agent or lipid dispersing agent that pertain to the scope of the present invention should preferably be selected from a group of esters comprising a saturated and/or unsaturated branched and/or unbranched chain of alkane carboxylic acid with a chain length of 3 to 30 carbon atoms and a saturated and/or unsaturated branched and/or unbranched chain of alcohol with a chain length of 3 to 30 carbon atoms, or a group of esters comprising aromatic carboxylic acid and a saturated and/or unsaturated branched and/or unbranched chain of alcohol with a chain length of 3 to 30 carbon atoms. And then, the ester oil should preferably be selected from the following: isopropyl myristate, isopropyl palmitate, isopropyl stearate, isopropyl oleate, n-butyl stearate, n-hexyl laurate, n-decyl oleate, isooctyl stearate, isononyl stearate, isononyl isononanoate, 2-ethylhexyl palmitate, 2-ethylhexyl laurate, 2-hexyldecyl stearate, 2-octyldodecyl palmitate, oleyl oleate, oleyl erucate, ethyl oleate, ethyl erucate, or a combination of these esters that are either synthetic, semisynthetic or natural, such as jojoba oil.

[0054] In addition, the oil phase should preferably be selected from a group comprising branched or unbranched hydrocarbons and wax, silicone oil and dialkyl ether, or a group comprising saturated and/or unsaturated, branched and/or unbranched alcohol and triglyceride or the triglycerol ester of saturated and/or unsaturated, branched and/or unbranched alkane carboxylic acid with a chain length of 12 to 18 carbon atoms, but preferably 8-24 carbon atoms. This triglyceride should preferably be synthetic, semisynthetic or natural oil and can be selected from a group of oils comprising olive oil, sunflower oil, soybean oil, peanut oil, canola oil, almond oil, palm oil, coconut oil or coconut seed oil.

[0055] These types of oils or wax components or any desired combination can be favorably used to achieve the purpose of the present invention. If desired, it is also possible to use wax, for example, cetyl palmitate, as the only lipid component for the oil phase.

[0056] The oil phase should preferably be selected from a group comprising 2-ethylhexyl isostearate, octyldodecanol, isotridecyl isononanoate, isoeicosane, 2-ethylhexyl cocoa acid, benzoic acid C_{12-15}-alkyl, capric acid/caprylic acid triglyceride or dicaprylyl ether.

[0057] A combination of benzoic acid C_{12-15}-alkyl and 2-ethylhexyl isostearate, a combination of benzoic acid C_{12-15}-alkyl and isotridecyl isononanoate, or a combination of benzoic acid C_{12-15}-alkyl, 2-ethylhexyl isostearate and isotridecyl isononanoate are the most desirable combinations.

[0058] The most desirable hydrocarbon to be used to achieve the purpose of the present invention is paraffin oil, squalane, or squalene.

[0059] In addition, the oil phase component should preferably contain cyclic or linear silicone oil, or instead of using the aforementioned silicone oil or type of silicone oil, an additional amount of a different oil phase component may be preferably added, but the oil phase can also be composed exclusively of this type of oil.

[0060] Cyclomethicone (octamethylcyclotetrasiloxane) should preferably be used as the silicone oil that is used for the present invention. Other possible substitutes that are suitable for the silicone oil used to achieve the purpose of the present invention are hexamethylcyclotrisiloxane, polydimethylsiloxane or poly (methylphenylsiloxane).

[0061] Furthermore, a combination of cyclomethicone and isotridecyl isononanoate or a combination of cyclomethicone and

2-ethylhexyl isostearate is particularly desirable. The most common gels that can be used for the present invention are preferably silicon dioxide or aluminum silicate for the alcohol-based gel and preferably alcohol with a low carbon number, such as ethanol, isopropanol, 1,2-propanediol or glycerol under the presence of a thickening agent, such as polyacrylate and water or one of the aforementioned oils for the water-alcohol-based or alcohol-based gel.

[0062] The solid stick contains, for example, natural or synthetic wax, fatty alcohol or fatty acid ester. A desirable preparation is a lip care stick or a deodorant stick.

[0063] Some common base substances that are suitable for use in the cosmetic stick that pertains to the scope of the present invention are fluid oil (paraffin oil, castor oil or isopropyl myristate, for example), a semisolid component (vaseline or lanolin, for example), a solid component (for example, beeswax, ceresin, microcrystal wax or ozokerite) with high-melting point wax (carnauba wax or candelilla wax, for example).

[0064] The suitable propellant that can be used to spray from an aerosol container in relation to the cosmetic and/or dermatological preparation pertaining to the scope of the present invention can be used alone or in various combinations, and is ordinarily a commonly known liquid propellant that readily vaporizes, such as hydrocarbon (propane, butane or isobutane, for example). Compressed air can also be used.

[0065] A person skilled in the art would have sufficient knowledge of propellant gases, such as fluorocarbons or chlorofluorocarbons (CFCs), that should be avoided, even though the substances themselves are not toxic and generally speaking, are suitable for formulation as an aerosol preparation in relation to the present invention, but are harmful to the environment as well as in other incidental circumstances.

[0066] The cosmetic preparation pertaining to the scope of the present invention, the active ingredient that is the effective amount as it pertains to the present invention and the solvent that is used for the active ingredient should preferably be used in combination with water and can be in the form of a gel that contains an organic thickening agent, such as gum Arabic, xanthan gum, alginate sodium or cellulose derivative, but

preferably, methylcellulose or hydroxy methyl cellulose, hydroxy ethyl cellulose, hydroxy propyl cellulose or hydroxy propyl methyl cellulose, or an inorganic thickening agent, such as bentonite or other aluminum silicate, or a combination of either polyethyleneglycol and stearic acid or polyethyleneglycol distearate.

[0067] The following working examples represent specific examples of the present invention.

[0068]
[Working Examples]

(Working Example 1)

W/O Cream

	% by weight
Paraffin oil (DAB 9)	10.00
Petrolatum	4.00
Sheep's wool wax alcohol	1.00
PEG-7 hydrogenated castor oil	3.00
Aluminum stearate	0.40
DL-α-tocopheryl ferulate	0.50
Glycerol	2.00
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 2)

W/O Lotion

	% by weight
Paraffin oil (DAB 9)	20.00
Petrolatum	4.00
Glucose sesquiisostearate	2.00
Aluminum stearate	0.40
DL-α-tocopheryl ferulate	0.50
α-tocopheryl acetate	1.00
Glycerol	5.00
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 3)

O/W Lotion

	% by weight
Paraffin oil (DAB 9)	8.00
Isopropyl palmitate	3.00
Petrolatum	4.00
Cetylstearyl alcohol	2.00
PEG-40 castor oil	0.50
Sodium cetylstearyl sulfate	0.50
Sodium carbomer	0.40
DL-α-tocopheryl ferulate	0.50
Glycerol	3.00
α-tocopherol	0.20
Octyl methoxycinnamate	5.00
Butyl methoxydibenzoyl methane	1.00
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 4)

O/W Cream

	% by weight
Paraffin oil (DAB 9)	7.00
Avocado oil	4.00
Monostearate glyceryl	2.00
DL-α-tocopheryl ferulate	0.50
Titanium dioxide	1.00
Sodium lactate	3.00
Glycerol	3.00
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 5)

Gel containing Liposomes

	% by weight
Lecithin	6.00
Shea butter	3.00
DL-α-tocopheryl ferulate	0.50
α-tocopherol	0.20
Biotin	0.08
Sodium citrate	0.50
Glycine	0.20
Urea	0.20
Sodium PCA	0.50
Hydrolyzed collagen	2.00
Xanthan gum	1.40
Sorbitol	3.00
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 6)

Sunscreen Emulsion

	% by weight
Cyclomethicone	2.00
Cetyl dimethicone copolyol	0.20
PEG-22 dodecyl copolymer	3.00
Paraffin oil (DAB 9)	2.00
Capric acid or caprylic acid triglyceride	5.80
Octyl methoxycinnamate	5.80
Butyl methoxydibenzoyl methane	4.00
DL-α-tocopheryl ferulate	0.50
α-tocopheryl acetate	0.50
$ZnSO_4$	0.70
Na_4 EDTA	0.30
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 7)

Sunscreen Emulsion

	% by weight
Cyclomethicone	2.00
Cetylstearyl alcohol + PEG-40 hydrogenated castor oil + Sodium cetylstearyl sulfate	2.50
Glyceryl lanolate	1.00
Capric acid or caprylic acid triglyceride	0.10
Lauryl methicone copolyol	2.00
Octyl stearate	3.00
Castor oil	4.00
Glycerol	3.00
Acrylamide/sodium acrylate • copolymer	0.30
Hydroxy propyl methyl cellulose	0.30
Octyl methoxycinnamate	5.00
Butyl methoxydibenzoyl methane	0.50
DL-α-tocopheryl ferulate	0.50
α-tocopheryl acetate	1.00
Na_4 HEDTA	1.50
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 8)

Sunscreen Emulsion

	% by weight
Cyclomethicone	2.00
Cetylstearyl alcohol + PEG-40 hydrogenated castor oil + Sodium cetylstearyl sulfate	2.50
Glyceryl lanolate	1.00
Capric acid or caprylic acid triglyceride	0.10
Lauryl methicone copolyol	2.00
Octyl stearate	3.00
Castor oil	4.00
Glycerol	3.00
Acrylamide/sodium acrylate • copolymer	0.30
Hydroxy propyl methyl cellulose	0.30
Octyl methoxycinnamate	5.00
Butyl methoxydibenzoyl methane	0.75
DL-α-tocopheryl ferulate	0.50
Na_4 HEDTA	1.50
Preservative, dyes, fragrance	Appropriate amounts
Water	For a total volume of 100.00

(Working Example 9)

Spray

	% by weight
α-tocopherol	0.10
DL-α-tocopheryl ferulate	0.50
Ethanol	28.20
Preservative, dyes, fragrance	Appropriate amounts
Propane/butane 25/75	For a total volume of 100.00

The embodiments of the present invention are as follows.

[0069] 1. The use of tocopheryl ferulate for the treatment of undesirable pigmentation of the skin.

2. The application as described according to No. 1 above, wherein the aforementioned tocopheryl ferulate is present in an effective amount in a cosmetic or dermatological preparation.

[0070] 3. The application as described according to No. 1 above, wherein the selected tocopheryl ferulate is DL-α-tocopheryl ferulate.

[0071] 4. The application as described according to No. 2 above, wherein the aforementioned tocopheryl ferulate (type) is present in a cosmetic or local dermatological preparation in a volume of 0.01 – 10% by weight of the total weight of the preparation, or preferably 0.1 – 5% by weight, with the most preferable volume being 0.2 – 2.0% by weight.

(Continued from the front page)

(72) Inventor Uwe Schoenrock
Lerhenweg 33
D-23866 Nahe
Germany

(72) Inventor Max Heiner
Kreufmanstrasse 82 B
D-22297 Hamburg
Germany

(72) Inventor Ururihi Kukusu
8-2007 Nozominomachi
13 Akemi
Meikai, Urayasu-shi
Chiba

(72) Inventor Kazuo Inoue
3-201 Bay City
3-15 Shinyamashita
Shinyamashita, Naka-ku
Yokohama-shi, Kanagawa-ken